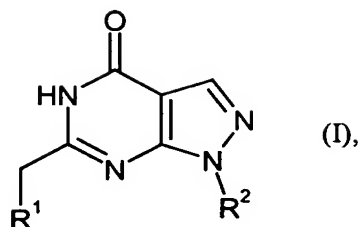


Patent Claims

1. Compounds of the formula



in which

5 R^1 is C_1 - C_8 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl or C_3 - C_8 -cycloalkyl, where C_1 - C_8 -alkyl is optionally substituted by oxo, and

where C_1 - C_8 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl and C_3 - C_8 -cycloalkyl are optionally substituted by up to 3 radicals independently of one another selected from the group of C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, hydroxycarbonyl, cyano, amino,
10 nitro, hydroxy, C_1 - C_6 -alkylamino, halogen, trifluoromethyl, trifluoromethoxy, C_6 - C_{10} -arylcarbonylamino, C_1 - C_6 -alkylcarbonylamino, C_1 - C_6 -alkylaminocarbonyl, C_1 - C_6 -alkoxycarbonyl, C_6 - C_{10} -arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C_1 - C_6 -alkylsulphonylamino, C_1 - C_6 -alkylsulphonyl, C_1 - C_6 -alkylthio,

15 where

C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkylamino, C_6 - C_{10} -arylcarbonylamino, C_1 - C_6 -alkylcarbonylamino, C_1 - C_6 -alkylaminocarbonyl, C_1 - C_6 -alkoxycarbonyl, C_6 - C_{10} -arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C_1 - C_6 -alkylsulphonylamino, C_1 - C_6 -alkylsulphonyl and C_1 - C_6 -alkylthio are optionally substituted by one to three
20 radicals independently of one another selected from the group of hydroxy, cyano, halogen, trifluoromethyl, trifluoromethoxy, hydroxycarbonyl and a group of the formula $-NR^3R^4$,

where

25 R^3 and R^4 are independently of one another hydrogen or C_1 - C_6 -alkyl,

or

R³ and R⁴ together with the nitrogen atom to which they are bonded are 5- to 8-membered heterocyclyl,

R² is phenyl or heteroaryl, where phenyl is substituted by 1 to 3 radicals and heteroaryl is optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxycarbonyl, cyano, trifluoromethyl, trifluoromethoxy, amino, nitro, hydroxy, C₁-C₆-alkylamino, halogen, C₆-C₁₀-arylcarbonylamino, C₁-C₆-alkylcarbonylamino, C₁-C₆-alkylaminocarbonyl, C₁-C₆-alkoxycarbonyl, C₆-C₁₀-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C₁-C₆-alkylsulphonylamino, C₁-C₆-alkylsulphonyl and C₁-C₆-alkylthio,

where C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-alkylamino, C₆-C₁₀-arylcarbonylamino, C₁-C₆-alkylcarbonylamino, C₁-C₆-alkylaminocarbonyl, C₁-C₆-alkoxycarbonyl, C₆-C₁₀-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C₁-C₆-alkylsulphonylamino, C₁-C₆-alkylsulphonyl and C₁-C₆-alkylthio are optionally substituted by one to three radicals independently of one another selected from the group of hydroxy, cyano, halogen, trifluoromethyl, trifluoromethoxy, hydroxycarbonyl and a group of the formula -NR³R⁴,

where

R³ and R⁴ have the meanings indicated above,

and the salts, solvates and/or solvates of the salts thereof.

2. Compounds according to Claim 1, where

R¹ is C₁-C₈-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl or C₃-C₈-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxycarbonyl, cyano, amino, nitro, hydroxy, C₁-C₆-alkylamino, halogen, C₆-C₁₀-arylcarbonylamino, C₁-C₆-alkylcarbonylamino, C₁-C₆-alkylaminocarbonyl, C₁-C₆-alkoxycarbonyl, C₆-C₁₀-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C₁-C₆-alkylsulphonylamino, C₁-C₆-alkylsulphonyl and C₁-C₆-alkylthio,

where C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-alkylamino, C₆-C₁₀-arylcarbonylamino, C₁-C₆-alkylcarbonylamino, C₁-C₆-alkylaminocarbonyl, C₁-C₆-alkoxycarbonyl,

C₆-C₁₀-arylamino-carbonyl, heteroarylamino-carbonyl, heteroarylcarbonylamino, C₁-C₆-alkylsulphonylamino, C₁-C₆-alkylsulphonyl and C₁-C₆-alkylthio are optionally substituted by a radical selected from the group of hydroxy, cyano, halogen, hydroxycarbonyl and a group of the formula -NR³R⁴,

5 where

R³ and R⁴ are independently of one another hydrogen or C₁-C₆-alkyl,

or

R³ and R⁴ together with the nitrogen atom to which they are bonded are 5- to 8-membered heterocyclyl,

10 R² is phenyl or heteroaryl, where phenyl is substituted by 1 to 3 radicals and heteroaryl is optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxycarbonyl, cyano, trifluoromethyl, amino, nitro, hydroxy, C₁-C₆-alkylamino, halogen, C₆-C₁₀-arylcarbonylamino, C₁-C₆-alkylcarbonylamino, C₁-C₆-alkylamino-
15 carbonyl, C₁-C₆-alkoxycarbonyl, C₆-C₁₀-arylamino-carbonyl, heteroarylamino-carbonyl, heteroarylcarbonylamino, C₁-C₆-alkylsulphonylamino, C₁-C₆-alkylsulphonyl, C₁-C₆-alkylthio,

where C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-alkylamino, C₆-C₁₀-arylcarbonylamino, C₁-C₆-alkylcarbonylamino, C₁-C₆-alkylaminocarbonyl, C₁-C₆-alkoxy-
20 carbonyl, C₆-C₁₀-arylamino-carbonyl, heteroarylamino-carbonyl, hetero-arylcarbonylamino, C₁-C₆-alkylsulphonylamino, C₁-C₆-alkylsulphonyl and C₁-C₆-alkylthio are optionally substituted by a radical selected from the group of hydroxy, cyano, halogen, hydroxycarbonyl and a group of formula -NR³R⁴,

25 where

R³ and R⁴ have the meanings indicated above,

and the salts, solvates and/or solvates of the salts thereof.

3. Compounds according to Claims 1 and 2, where

5 R¹ is C₁-C₅-alkyl or C₃-C₆-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C₁-C₄-alkyl, C₁-C₄-alkoxy, hydroxycarbonyl, cyano, amino, hydroxy, C₁-C₄-alkylamino, trifluoromethyl, fluorine, chlorine, bromine, C₆-C₁₀-arylcarbonylamino, C₁-C₄-alkylcarbonylamino, C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkoxycarbonyl, C₆-C₁₀-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C₁-C₄-alkylsulphonylamino, C₁-C₄-alkylsulphonyl, C₁-C₄-alkylthio,

10 where C₁-C₄-alkyl and C₁-C₄-alkoxy are optionally substituted by a radical selected from the group of hydroxy, cyano, fluorine, chlorine, bromine, hydroxycarbonyl and a group of the formula -NR³R⁴,

where

R³ and R⁴ are independently hydrogen or C₁-C₄-alkyl,

or

15 R³ and R⁴ together with the nitrogen atom to which they are bonded are 5- to 6-membered heterocyclyl,

20 R² is phenyl, pyrimidyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by 1 to 3 radicals and pyrimidyl, pyridyl N-oxide and pyridyl are optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of C₁-C₄-alkyl, C₁-C₄-alkoxy, hydroxycarbonyl, cyano, trifluoromethyl, amino, hydroxy, C₁-C₄-alkylamino, fluorine, chlorine, bromine, C₆-C₁₀-arylcarbonylamino, C₁-C₄-alkylcarbonylamino, C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkoxycarbonyl, C₆-C₁₀-arylaminocarbonyl, heteroarylaminocarbonyl, heteroarylcarbonylamino, C₁-C₄-alkylsulphonylamino, C₁-C₄-alkylsulphonyl, C₁-C₄-alkylthio,

25 where C₁-C₄-alkyl and C₁-C₄-alkoxy are optionally substituted by a radical selected from the group of hydroxy, cyano, fluorine, chlorine, bromine, hydroxycarbonyl and a group of the formula -NR³R⁴,

where

R³ and R⁴ have the meanings indicated in Claim 1,

30 and the salts, solvates and/or solvates of the salts thereof.

4. Compounds according to Claims 1 to 3, where R¹ has the meanings indicated in Claims 1 to 3, and

5 R² is phenyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by 1 to 3 radicals and pyridyl and pyridyl N-oxide are optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of methyl, ethyl, 2-propyl, trifluoromethyl, methoxy, ethoxy, fluorine and chlorine, and the salts, solvates and/or solvates of the salts thereof.

5. Compounds according to Claims 1 to 4, where

10 R¹ is C₁-C₅-alkyl or C₅-C₆-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C₁-C₄-alkyl, trifluoromethyl, fluorine, hydroxy, phenylcarbonylamino, C₁-C₄-alkylcarbonylamino, C₁-C₄-alkylaminocarbonyl or phenylaminocarbonyl, and

15 R² is phenyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by 1 to 3 radicals and pyridyl and pyridyl N-oxide are optionally substituted by 1 to 3 radicals in each case independently of one another selected from the group of methyl, ethyl, 2-propyl, trifluoromethyl, methoxy, ethoxy, fluorine and chlorine, and the salts, solvates and/or solvates of the salts thereof.

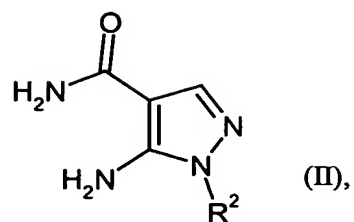
6. Compounds according to Claims 1 to 5, where

20 R¹ is C₁-C₅-alkyl or C₅-C₆-cycloalkyl, which are optionally substituted by up to 3 radicals independently of one another selected from the group of C₁-C₄-alkyl, fluorine, trifluoromethyl, hydroxy, phenylcarbonylamino, C₁-C₄-alkylcarbonylamino, C₁-C₄-alkylaminocarbonyl or phenylaminocarbonyl, and

25 R² is phenyl, pyridyl N-oxide or pyridyl, where phenyl is substituted by one radical and pyridyl and pyridyl N-oxide are optionally substituted by one radical in each case independently of one another selected from the group of methyl, ethyl, 2-propyl, trifluoromethyl, methoxy, ethoxy, fluorine and chlorine, and the salts, solvates and/or solvates of the salts thereof.

7. Process for preparing compounds according to Claim 1, characterized in that

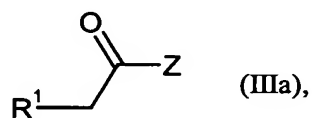
[A] compounds of the formula



in which

R² has the meanings indicated in Claim 1,

are converted by reaction with a compound of the formula

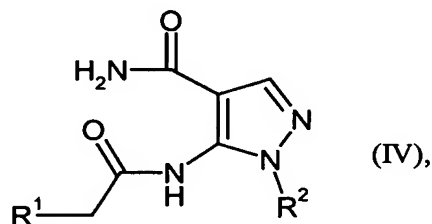


in which R¹ has the meanings indicated in Claim 1,

and

Z is chlorine or bromine,

in an inert solvent and in the presence of a base, initially into compounds of the formula



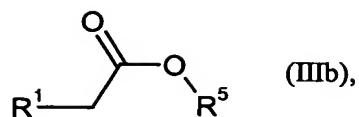
in which

R¹ and R² have the meanings indicated in Claim 1,

and then cyclized in an inert solvent in the presence of a base to compounds of the formula (I),

or

[B] compounds of the formula (II) are reacted with a compound of the formula



in which

R¹ has the meanings indicated in Claim 1,

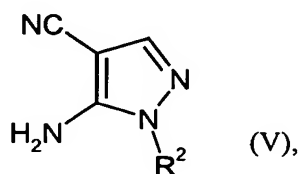
and

5 R⁵ is methyl or ethyl,

in an inert solvent and in the presence of a base, with direct cyclization to (I),

or

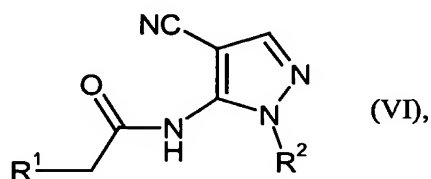
[C] compounds of the formula



10 in which

R² has the meanings indicated in Claim 1,

are converted initially by reaction with a compound of the formula (IIIa) in an inert solvent and in the presence of a base into compounds of the formula



15 in which

R¹ and R² have the meanings indicated in Claim 1,

and the latter are cyclized in a second step in an inert solvent and in the presence of a base and of an oxidizing agent to (I),

and the resulting compounds of the formula (I) are where appropriate reacted with the appropriate (i) solvents and/or (ii) bases or acids to give their solvates, salts and/or solvates of the salts.

- 5 8. Compounds according to any of Claims 1 to 6 for the treatment and/or prophylaxis of diseases.
9. Medicament comprising at least one of the compounds according to any of Claims 1 to 6 and at least one pharmaceutically acceptable, essentially non-toxic carrier or excipient.
- 10 10. Use of the compounds according to any of Claims 1 to 6 for producing a medicament for the prophylaxis and/or treatment of impairments of perception, concentration, learning and/or memory.
11. Use according to Claim 10, where the impairment is a consequence of Alzheimer's disease.
12. Use of the compounds according to any of Claims 1 to 6 for producing a medicament for improving perception, concentration, learning and/or memory.
- 15 13. Method for controlling impairments of perception, concentration, learning and/or memory in humans or animals by administering an effective amount of compounds from Claims 1 to 6.
14. Method according to Claim 13, where the impairment is a consequence of Alzheimer's disease.